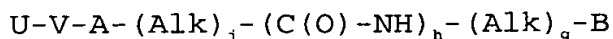


1. A compound of the formula



Q2

each Alk is independently a alkyl radical;

10

U represents amidino, guanidino, $-(G\text{-alkyl})_k\text{-NH-R}_1$, $-(G\text{-alkyl})_k\text{-NH-C(Q)-R}_1$, $-(G\text{-alkyl})_k\text{-C(Q)-N(R)-R}_1$, $-(G\text{-alkyl})_k\text{-NH-C(Q)-N(R)-R}_1$, $-(G\text{-alkyl})_k\text{-NH-C(Q)-O-R}_1$ or $-(G\text{-alkyl})_k\text{-O-C(Q)-N(R)-R}_1$ radical; or U represents a

15 hydroxyalkyl-G- radical which is optionally substituted
by a cycloalkyl, aryl, heteroaryl or heterocyclyl,
wherein the cycloalkyl, aryl, heteroaryl and
heterocyclyl radicals are optionally substituted by 1-3
radicals of R₂;

20

wherein k is 0 or 1;

G represents a bond, O, S or NH;

25 Q represents O, S, NH, N-CN or N-alkyl;

R is a radical of hydrogen or alkyl;

30 R₁ is a radical of alkyl, haloalkyl, R₂₁R₂₂N-alkyl, R₂₁O-alkyl, R₂₁S-alkyl, cycloalkyl, cycloalkyl-alkyl, aryl, aryl-alkyl, heteroaryl, heteroaryl-alkyl, heterocyclyl or heterocyclyl-alkyl, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R₂;

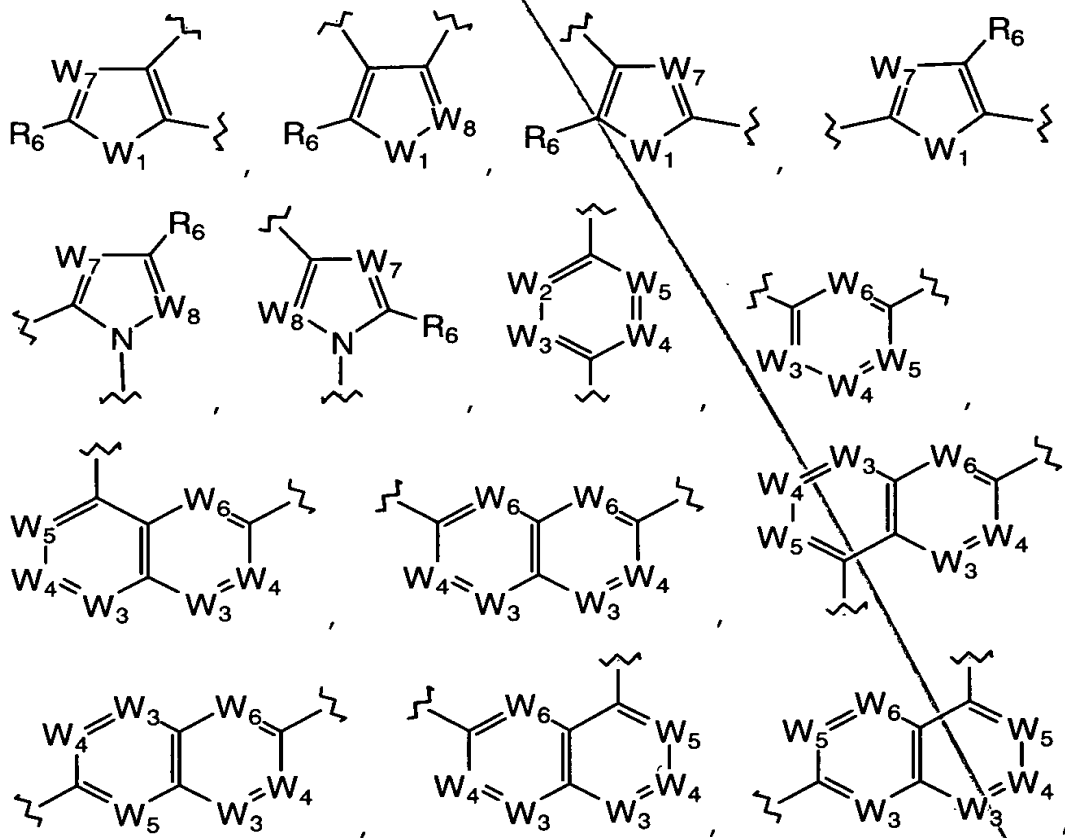
35

	1987	1988	1989	1990	1991	1992	1993	1994	1995	1996	1997	1998	1999	2000	2001	2002	2003	2004	2005	2006	2007	2008	2009	2010	2011	2012	2013	2014	2015	2016	2017	2018	2019	2020	2021	2022	2023	2024	2025	2026	2027	2028	2029	2030	2031	2032	2033	2034	2035	2036	2037	2038	2039	2040	2041	2042	2043	2044	2045	2046	2047	2048	2049	2050	2051	2052	2053	2054	2055	2056	2057	2058	2059	2060	2061	2062	2063	2064	2065	2066	2067	2068	2069	2070	2071	2072	2073	2074	2075	2076	2077	2078	2079	2080	2081	2082	2083	2084	2085	2086	2087	2088	2089	2090	2091	2092	2093	2094	2095	2096	2097	2098	2099	2100	2101	2102	2103	2104	2105	2106	2107	2108	2109	2110	2111	2112	2113	2114	2115	2116	2117	2118	2119	2120	2121	2122	2123	2124	2125	2126	2127	2128	2129	2130	2131	2132	2133	2134	2135	2136	2137	2138	2139	2140	2141	2142	2143	2144	2145	2146	2147	2148	2149	2150	2151	2152	2153	2154	2155	2156	2157	2158	2159	2160	2161	2162	2163	2164	2165	2166	2167	2168	2169	2170	2171	2172	2173	2174	2175	2176	2177	2178	2179	2180	2181	2182	2183	2184	2185	2186	2187	2188	2189	2190	2191	2192	2193	2194	2195	2196	2197	2198	2199	2200	2201	2202	2203	2204	2205	2206	2207	2208	2209	2210	2211	2212	2213	2214	2215	2216	2217	2218	2219	2220	2221	2222	2223	2224	2225	2226	2227	2228	2229	2230	2231	2232	2233	2234	2235	2236	2237	2238	2239	2240	2241	2242	2243	2244	2245	2246	2247	2248	2249	2250	2251	2252	2253	2254	2255	2256	2257	2258	2259	2260	2261	2262	2263	2264	2265	2266	2267	2268	2269	2270	2271	2272	2273	2274	2275	2276	2277	2278	2279	2280	2281	2282	2283	2284	2285	2286	2287	2288	2289	2290	2291	2292	2293	2294	2295	2296	2297	2298	2299	2300	2301	2302	2303	2304	2305	2306	2307	2308	2309	2310	2311	2312	2313	2314	2315	2316	2317	2318	2319	2320	2321	2322	2323	2324	2325	2326	2327	2328	2329	2330	2331	2332	2333	2334	2335	2336	2337	2338	2339	2340	2341	2342	2343	2344	2345	2346	2347	2348	2349	2350	2351	2352	2353	2354	2355	2356	2357	2358	2359	2360	2361	2362	2363	2364	2365	2366	2367	2368	2369	2370	2371	2372	2373	2374	2375	2376	2377	2378	2379	2380	2381	2382	2383	2384	2385	2386	2387	2388	2389	2390	2391	2392	2393	2394	2395	2396	2397	2398	2399	2400	2401	2402	2403	2404	2405	2406	2407	2408	2409	2410	2411	2412	2413	2414	2415	2416	2417	2418	2419	2420	2421	2422	2423	2424	2425	2426	2427	2428	2429	2430	2431	2432	2433	2434	2435	2436	2437	2438	2439	2440</
--	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	------	--------

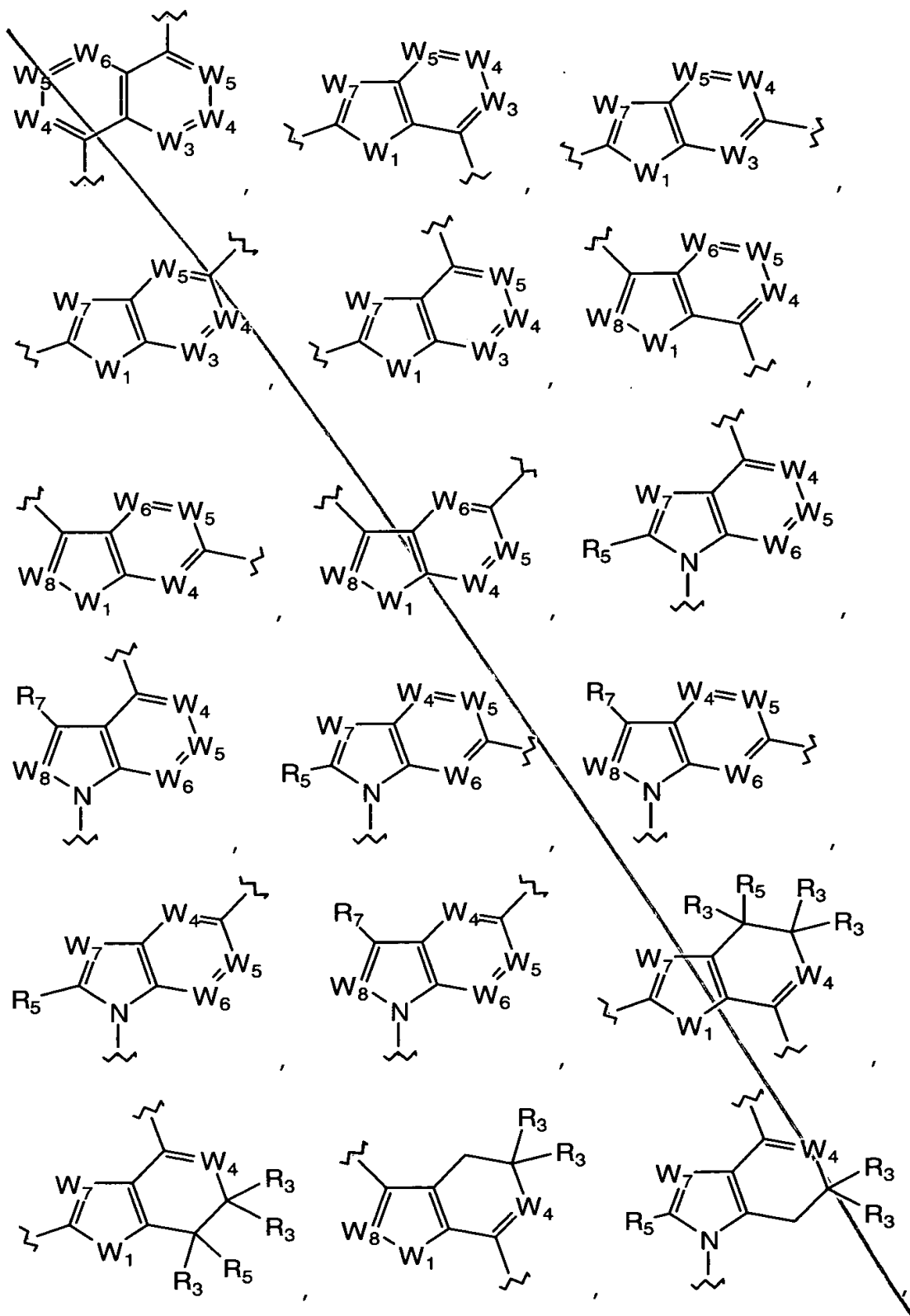
wherein R_{21} and R_{22} are each independently a radical of hydrogen, alkyl, haloalkyl, cycloalkyl, cycloalkyl-alkyl, aryl, aryl-alkyl, heteroaryl, heteroaryl-alkyl, heterocyclyl or heterocyclyl-alkyl, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R_2 ;

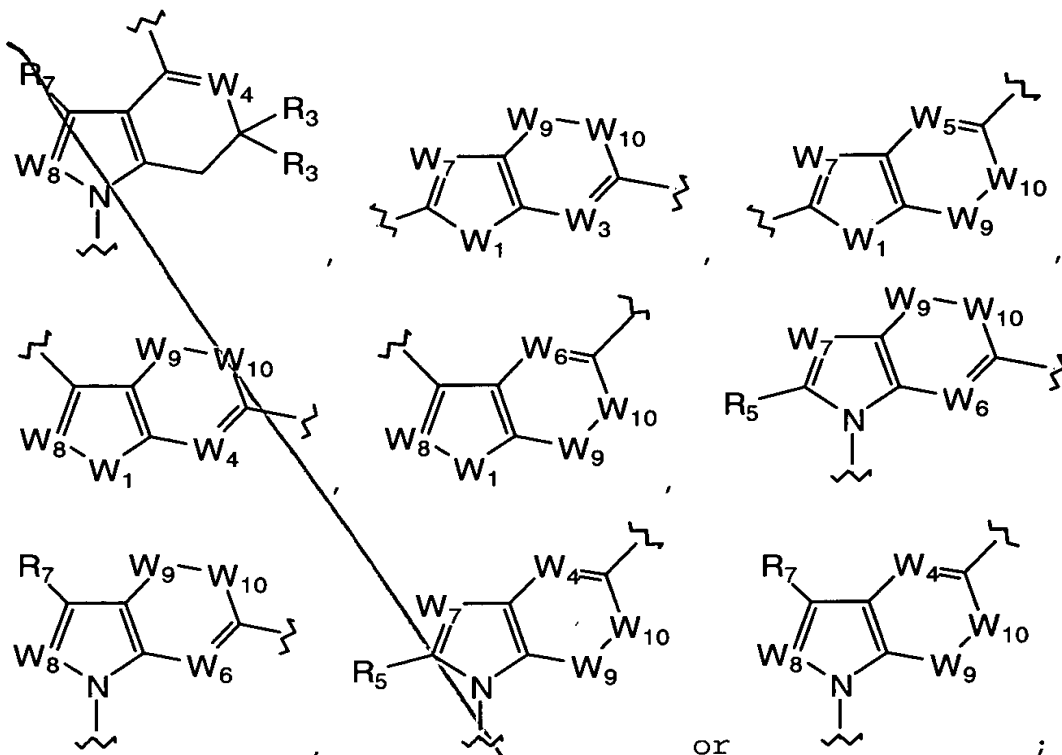
each R_2 is independently a halo, alkyl, alkoxy, alkylthio, haloalkyl, haloalkoxy, hydroxy, carboxy, cyano, azido, amidino, guanidino, nitro, amino, alkylamino or dialkylamino radical or two adjacent R_2 radicals on an aryl or heteroaryl radical represent a methylenedioxy, ethylenedioxy or propylenedioxy radical;

V represents a radical of formula



a²
cont





- 5 wherein W_1 is O, S or N- R_3 ; wherein each R_3 is independently a hydrogen or alkyl radical; W_7 is N or C- R_7 ; W_8 is N or C- R_5 ;

W_9 is $C(R_3)_2$ and W_{10} is W_1 ; or W_9 is CR_3R_5 and W_{10} is $C(R_3)_2$;

10

each W_2 , W_3 , W_4 and W_5 are independently N or C- R_4 ; provided the total number of cycloalkyl, aryl, heteroaryl, heterocyclyl, carboxy, $-C(O)-O-R_{19}$, $-C(O)-R_{19}$, $-C(O)-NH-R_{19}$, $-C(O)-N(R_{19})_2$ and $-R_{19}$ radicals in W_2 ,

15

W_3 , W_4 and W_5 is 0-2;

each W_6 is independently N or C-H; provided that not more than two of W_2 , W_3 , W_4 , W_5 and W_6 represent N; and

20

each R_4 is independently a hydrogen, halo, alkyl, alkoxy, alkylthio, haloalkyl, haloalkoxy, hydroxy,

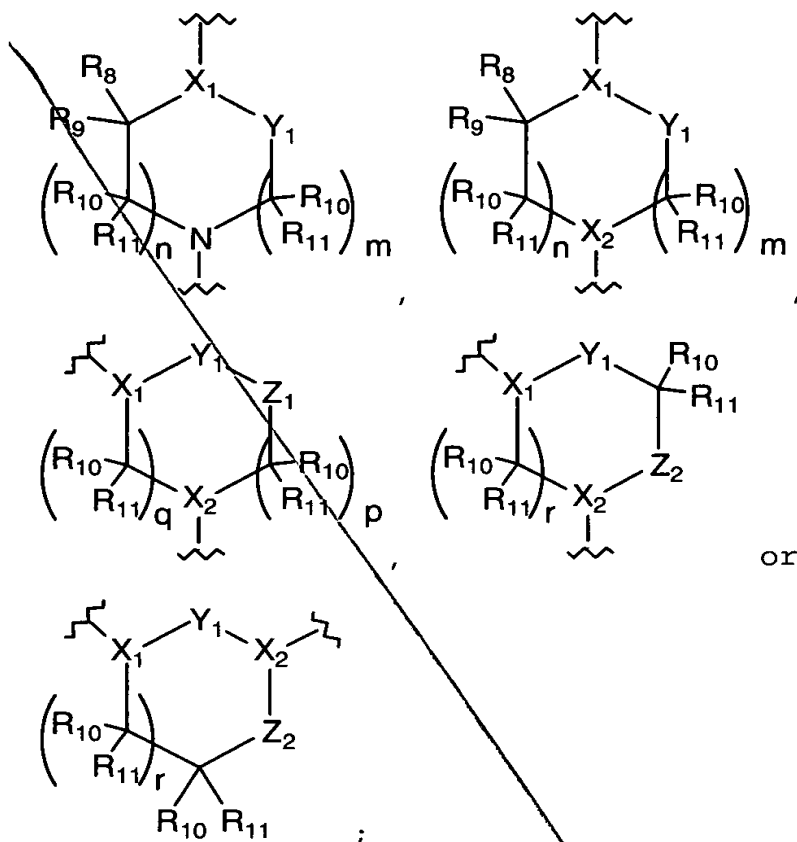
Q^2_{cont}

10

15

25

THE DOBSON



5 wherein X₁ is N or C-H;

X₂ is C-H, C-alkyl, a spirocycloalkyl or spiroheterocyclyl radical; wherein the spirocycloalkyl and spiroheterocyclyl radicals are optionally substituted by an oxo or thiooxo radical and 1-2 radicals of alkyl, haloalkyl, hydroxy, alkoxy or haloalkoxy;

10 Y₁ is -C(O)-, -C(S)-, -S(O)- or -S(O)₂-;

15

Z₁ is O or N-R₁₂;

Z₂ is O, S or N-R₁₂;

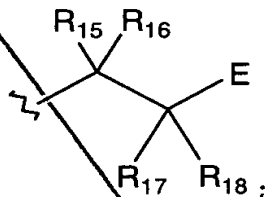
Q2 cont
 n and m are each independently 0, 1 or 2, provided $n + m = 1, 2, 3$ or 4;

p and q are each independently 0, 1 or 2, provided $p + q = 1, 2$ or 3;

r is 1 or 2;

R_8, R_9, R_{10}, R_{11} and R_{12} are each independently a hydrogen or alkyl radical; or $-CR_8R_9-$ represents a $-C(O)-$;

B represents a radical of formula



wherein (a) R_{15} is a hydrogen or alkyl radical; and R_{17} is (1) an aryl, heteroaryl, $-NH-C(O)-R_{19}$, $-C(O)-NH-R_{19}$, $-NH-C(O)-NH-R_{19}$, $-O-C(O)-NH-R_{19}$, $-NH-C(O)-O-R_{19}$, $-S(O)_2-R_{19}$, $-NH-S(O)_2-R_{19}$, $-S(O)_2-NH-R_{19}$ or $-NH-S(O)_2-NH-R_{19}$ radical, or (2) an alkyl radical substituted by a radical of aryl, heteroaryl, $-NH-C(O)-R_{19}$, $-C(O)-NH-R_{19}$, $-NH-C(O)-NH-R_{19}$, $-O-C(O)-NH-R_{19}$, $-NH-C(O)-O-R_{19}$, $-S(O)_2-R_{19}$, $-NH-S(O)_2-R_{19}$, $-S(O)_2-NH-R_{19}$ or $-NH-S(O)_2-NH-R_{19}$; wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of R_2 ; or

(b) R_{17} is a hydrogen or alkyl radical; and R_{15} is (1) an aryl, heteroaryl, cycloalkyl, heterocyclyl, $-NH-C(O)-R_{19}$, $-C(O)-NH-R_{19}$, $-NH-C(O)-NH-R_{19}$, $-O-C(O)-NH-R_{19}$, $-NH-C(O)-O-R_{19}$, $-S(O)_2-R_{19}$, $-NH-S(O)_2-R_{19}$, $-S(O)_2-NH-R_{19}$ or $-NH-S(O)_2-NH-R_{19}$ radical, or (2) an alkyl radical substituted by a radical of aryl, heteroaryl, cycloalkyl, heterocyclyl, $-NH-C(O)-R_{19}$, $-C(O)-NH-R_{19}$, $-NH-C(O)-NH-R_{19}$, $-O-C(O)-NH-R_{19}$, $-NH-C(O)-O-R_{19}$, $-S(O)_2-R_{19}$

$$Q_{\text{cont}}^2$$

5

10

20

20

25

30

35

*Q²
cont*

provided that when U represents amidino, guanidino, $-C(Q)-NH-R_1$ or $-NH-C(Q)-NH-R_1$ radical, wherein Q represents NH, N-CN or N-alkyl, then at least one of g, h or j is 1.

5

2. The compound of Claim 1 or a pharmaceutically acceptable salt thereof, wherein

10 each Alk is independently a C_1-C_{12} alkyl radical;

*Sub
B2*

U represents amidino, guanidino, $-(G-(C_1-C_8 \text{ alkyl}))_k-NH-R_1$, $-(G-(C_1-C_8 \text{ alkyl}))_k-NH-C(Q)-R_1$, $-(G-(C_1-C_8 \text{ alkyl}))_k-C(Q)-N(R)-R_1$, $-(G-(C_1-C_8 \text{ alkyl}))_k-NH-C(Q)-N(R)-R_1$, $-(G-(C_1-C_8 \text{ alkyl}))_k-NH-C(Q)-O-R_1$ or $-(G-(C_1-C_8 \text{ alkyl}))_k-O-C(Q)-N(R)-R_1$ radical; or U represents a hydroxy(C_1-C_{12} alkyl)-G- radical which is optionally substituted by a C_3-C_8 cycloalkyl, aryl, heteroaryl of 5-10 ring members or heterocyclyl of 5-8 ring members, wherein the

15 C_1-C_8 alkyl), $-(G-(C_1-C_8 \text{ alkyl}))_k-NH-C(Q)-O-R_1$ or $-(G-(C_1-C_8 \text{ alkyl}))_k-O-C(Q)-N(R)-R_1$ radical; or U represents a hydroxy(C_1-C_{12} alkyl)-G- radical which is optionally substituted by a C_3-C_8 cycloalkyl, aryl, heteroaryl of 5-10 ring members or heterocyclyl of 5-8 ring members, wherein the

20 cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R_2 ;

Q represents O, S, NH, N-CN or $N-(C_1-C_8 \text{ alkyl})$;

25 R is a radical of hydrogen or C_1-C_8 alkyl;

R_1 is a radical of C_1-C_8 alkyl, halo(C_1-C_8 alkyl) of 1-7 halo radicals, $R_{21}R_{22}N-(C_1-C_8 \text{ alkyl})$, $R_{21}O-(C_1-C_8 \text{ alkyl})$, $R_{21}S-(C_1-C_8 \text{ alkyl})$, C_3-C_8 cycloalkyl, C_3-C_8 cycloalkyl(C_1-C_8 alkyl), aryl, aryl(C_1-C_8 alkyl), heteroaryl of 5-10 ring members, heteroaryl(C_1-C_8 alkyl) of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl(C_1-C_8 alkyl) of 5-8 ring members, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are

30 optionally substituted by 1-3 radicals of R_2 ;

35

5 members, heteroaryl(C₁-C₈ alkyl) of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl(C₁-C₈ alkyl) of 5-8 ring members, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R₂;

10 each R₂ is independently a halo, C₁-C₆ alkyl, C₁-C₆ alkoxy, C₁-C₆ alkylthio, halo(C₁-C₄ alkyl) of 1-5 halo radicals, halo(C₁-C₄ alkoxy) of 1-5 halo radicals, hydroxy, carboxy, cyano, azido, amidino, guanidino,

15 nitro, amino, C₁-C₈ alkylamino or di(C₁-C₈ alkyl)amino radical or two adjacent R₂ radicals on an aryl or heteroaryl radical represent a methylenedioxy, ethylenedioxy or propylenedioxy radical;

20 each R₃ is independently a hydrogen or C₁-C₆ alkyl radical;

each R₄ is independently a hydrogen, halo, C₁-C₆ alkyl, C₁-C₆ alkoxy, C₁-C₆ alkylthio, halo(C₁-C₄ alkyl) of 1-5 halo radicals, halo(C₁-C₄ alkoxy) of 1-5 halo radicals, hydroxy, cyano, carboxy, -C(O)-O-R₁₉, -C(O)-R₁₉, -C(O)-NH-R₁₉, -C(O)-N(R₁₉)₂, C₃-C₆ cycloalkyl, C₃-C₆ cycloalkyl(C₁-C₄ alkyl), aryl, aryl(C₁-C₄ alkyl), heteroaryl of 5-10 ring members, heteroaryl(C₁-C₄ alkyl) of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl(C₁-C₄ alkyl) of 5-8 ring members radical, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R₂; or two adjacent R₄ radicals taken

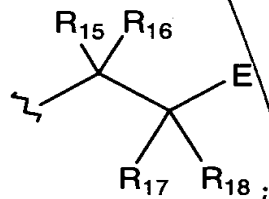
30 together with the carbon atoms to which they are attached represent a fused-phenyl or fused-heteroaryl

Sub
B2

[illegible]

25

B represents a radical of formula



wherein (a) R₁₅ is a hydrogen or C₁-C₆ alkyl radical; and R₁₇ is (1) an aryl, heteroaryl of 5-10 ring members, -

Sub B2
NH-C(O)-R₁₉, -C(O)-NH-R₁₉, -NH-C(O)-NH-R₁₉, -O-C(O)-NH-R₁₉,
-NH-C(O)-O-R₁₉, -S(O)₂-R₁₉, -NH-S(O)₂-R₁₉, -S(O)₂-NH-R₁₉, or
-NH-S(O)₂-NH-R₁₉ radical, or (2) an C₁-C₆ alkyl radical
substituted by a radical of aryl, heteroaryl of 5-10
5 ring members, -NH-C(O)-R₁₉, -C(O)-NH-R₁₉, -NH-C(O)-NH-R₁₉,
-O-C(O)-NH-R₁₉, -NH-C(O)-O-R₁₉, -S(O)₂-R₁₉, -NH-S(O)₂-R₁₉,
-S(O)₂-NH-R₁₉ or -NH-S(O)₂-NH-R₁₉; wherein the aryl and
heteroaryl radicals are optionally substituted by 1-3
radicals of R₂; or

10 (b) R₁₇ is a hydrogen or C₁-C₆ alkyl radical; and R₁₅ is
(1) an aryl, heteroaryl of 5-10 ring members, C₃-C₈
cycloalkyl, heterocyclyl of 5-8 ring members, -NH-C(O)-
R₁₉, -C(O)-NH-R₁₉, -NH-C(O)-NH-R₁₉, -O-C(O)-NH-R₁₉, -NH-
15 C(O)-O-R₁₉, -S(O)₂-R₁₉, -NH-S(O)₂-R₁₉, -S(O)₂-NH-R₁₉ or -NH-
S(O)₂-NH-R₁₉ radical, or (2) an C₁-C₄ alkyl radical
substituted by a radical of aryl, heteroaryl of 5-10
ring members, C₃-C₈ cycloalkyl, heterocyclyl of 5-8 ring
members, -NH-C(O)-R₁₉, -C(O)-NH-R₁₉, -NH-C(O)-NH-R₁₉, -O-
20 C(O)-NH-R₁₉, -NH-C(O)-O-R₁₉, -S(O)₂-R₁₉, -NH-S(O)₂-R₁₉,
-S(O)₂-NH-R₁₉ or -NH-S(O)₂-NH-R₁₉ radical; wherein the
cycloalkyl, aryl, heteroaryl and heterocyclyl radicals
are optionally substituted by 1-3 radicals of R₂;

25 provided that when a nitrogen atom is attached to the
carbon atom to which R₁₅ is attached, then R₁₅ is (1) an
aryl, heteroaryl, cycloalkyl, heterocyclyl or -C(O)-NH-
R₁₉ radical, or (2) an alkyl radical substituted by a
radical of aryl, heteroaryl, cycloalkyl, heterocyclyl,
30 -NH-C(O)-R₁₉, -C(O)-NH-R₁₉, -NH-C(O)-NH-R₁₉, -O-C(O)-NH-
R₁₉, -NH-C(O)-O-R₁₉, -S(O)₂-R₁₉, -NH-S(O)₂-R₁₉, -S(O)₂-NH-R₁₉
or -NH-S(O)₂-NH-R₁₉;

wherein R₁₉ is a C₁-C₆ alkyl, C₃-C₈ cycloalkyl, C₃-C₈
35 cycloalkyl(C₁-C₆ alkyl), aryl, aryl(C₁-C₆ alkyl),
heteroaryl of 5-10 ring members, heteroaryl(C₁-C₆ alkyl)

of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl(C₁-C₆ alkyl) of 5-8 ring members, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R₂;

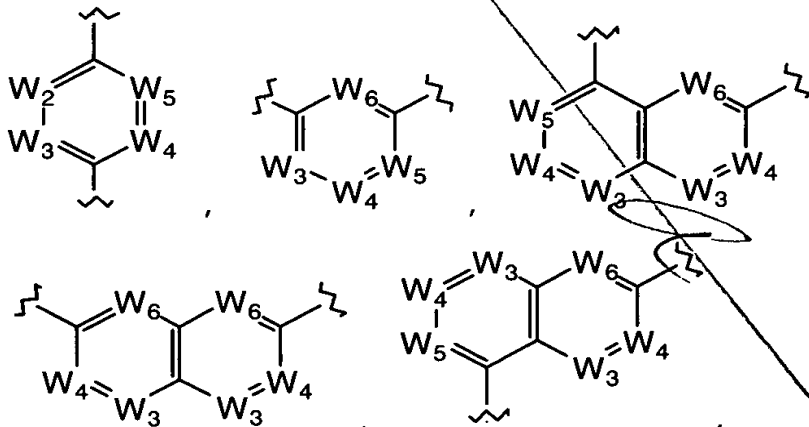
R₁₆ and R₁₈ are each independently a hydrogen or C₁-C₆ alkyl radical; and

- 10 R₂₀ is a C₁-C₆ alkyl, C₃-C₈ cycloalkyl, aryl, heteroaryl of 5-10 ring members or heterocyclyl of 5-8 ring members radical or a C₁-C₆ alkyl radical substituted by 1-3 radicals of halo, hydroxy, carboxy, amino, C₃-C₈ cycloalkyl, aryl, heteroaryl of 5-10 ring members or
- 15 heterocyclyl of 5-8 ring members, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R₂.

- 20 3. The compound of Claim 2 or a pharmaceutically acceptable salt thereof, wherein

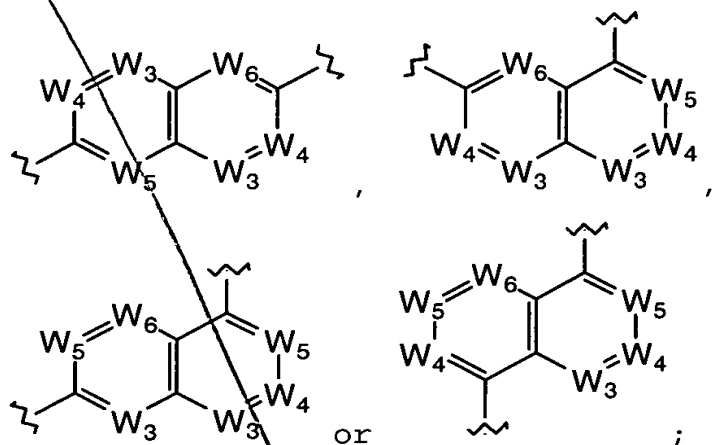
each Alk is independently a C₁-C₈ alkyl radical;

- 25 V represents a radical of formula

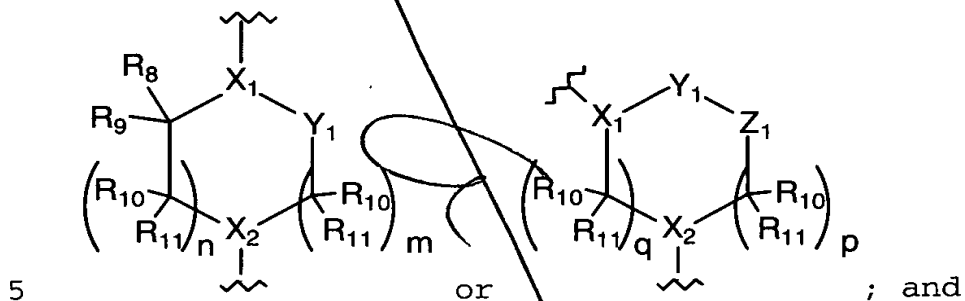


A-648

182



A represents a radical of formula

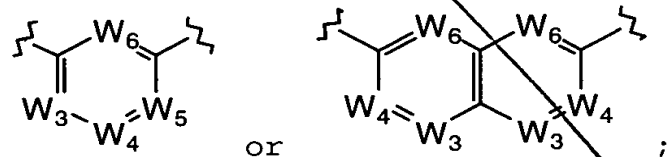


Y_1 is $-C(O)-$ or $-C(S)-$.

4. The compound of Claim 3 or a pharmaceutically acceptable salt thereof, wherein

each Alk is independently a C_1-C_6 alkyl radical;

V represents a radical of formula



X_2 is C-H or C-(methyl) radical;

R_8, R_9, R_{10}, R_{11} and R_{12} are each independently a hydrogen or methyl radical; or $-CR_9R_8-$ represents a $-C(O)-$.

each Alk is independently a C₁-C₄ alkyl radical;

~~U represents amidino, guanidino, $-(G-(C_1-C_8 \text{ alkyl}))_k-NH-R_1$, $-(G-(C_1-C_8 \text{ alkyl}))_k-NH-C(Q)-R_1$, $-(G-(C_1-C_8 \text{ alkyl}))_k-C(Q)-N(R)-R_1$, $-(G-(C_1-C_8 \text{ alkyl}))_k-NH-C(Q)-N(R)-R_1$ or $-(G-(C_1-C_8 \text{ alkyl}))_k-NH-C(Q)-O-R_1$ radical;~~

G represents a bond, 0 or NH;

Q represents O, S, NH, N-CN or N-(C₁-C₄ alkyl);

R is a radical of hydrogen or C₁-C₄ alkyl;

R₁ is a radical of C₁-C₆ alkyl, halo(C₁-C₆ alkyl) of 1-5 halo radicals, R₂₁R₂₂N-(C₁-C₆ alkyl), R₂₁O-(C₁-C₆ alkyl), C₃-C₈ cycloalkyl, C₃-C₈ cycloalkyl(C₁-C₆ alkyl), aryl, aryl(C₁-C₆ alkyl), heteroaryl of 5-10 ring members, heteroaryl(C₁-C₆ alkyl) of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl(C₁-C₆ alkyl) of 5-8 ring members, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R₂;

R₂₁ and R₂₂ are each independently a radical of hydrogen, C₁-C₈ alkyl, aryl, aryl(C₁-C₄ alkyl), heteroaryl of 5-10 ring members or heteroaryl(C₁-C₄ alkyl) of 5-10 ring

Q3
cont

[illegible]

members, wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of R_2 ;

each R_2 is independently a halo, C_1-C_4 alkyl, C_1-C_4 alkoxy, C_1-C_4 alkylthio, halo(C_1-C_2 alkyl) of 1-5 halo radicals, halo(C_1-C_2 alkoxy) of 1-5 halo radicals, hydroxy, carboxy, cyano, azido, amidino, guanidino, nitro, amino, C_1-C_4 alkylamino or di(C_1-C_4 alkyl)amino radical or two adjacent R_2 radicals on an aryl or heteroaryl radical represent a methylenedioxy, ethylenedioxy or propylenedioxy radical;

each W_6 is C-H;

each R_4 is independently a hydrogen, halo, C_1-C_4 alkyl, C_1-C_4 alkoxy, C_1-C_4 alkylthio, halo(C_1-C_2 alkyl) of 1-5 halo radicals, halo(C_1-C_2 alkoxy) of 1-5 halo radicals, hydroxy, cyano, carboxy, $-C(O)-O-R_{19}$, $-C(O)-R_{19}$, $-C(O)-NH-R_{19}$, $-C(O)-N(R_{19})_2$, C_3-C_6 cycloalkyl, C_3-C_6 cycloalkyl(C_1-C_4 alkyl), aryl, aryl(C_1-C_4 alkyl), heteroaryl of 5-10 ring members, heteroaryl(C_1-C_4 alkyl) of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl(C_1-C_4 alkyl) of 5-8 ring members radical, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R_2 ; and

R_{20} is a C_1-C_4 alkyl, aryl or heteroaryl of 5-10 ring members or a C_1-C_4 alkyl radical substituted by 1-3 radicals of halo, hydroxy, carboxy, amino, aryl, heteroaryl of 5-10 ring members or heterocyclyl of 5-8 ring members, wherein the aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R_2 .

6. The compound of Claim 5 or a pharmaceutically stable salt thereof, wherein

U represents amidino, guanidino, $-(G-(C_1-C_8 \text{ alkyl}))_k-NH-$
 5 R_1 , $-NH-C(Q)-R_1$, $-(G-(C_1-C_8 \text{ alkyl}))_k-C(Q)-N(R)-R_1$, $-NH-$
 $C(Q)-N(R)-R_1$, or $-NH-C(Q)-O-R_1$ radical;

Q represents 0 or NH;

10 R is a radical of hydrogen or C₁-C₄ alkyl;

R₁ is a radical of C₁-C₆ alkyl, halo(C₁-C₆ alkyl) of 1-5 halo radicals, R₂₁R₂₂N-(C₁-C₄ alkyl), R₂₁O-(C₁-C₄ alkyl), C₃-C₈ cycloalkyl, C₃-C₈ cycloalkyl(C₁-C₄ alkyl), aryl, aryl(C₁-C₄ alkyl), heteroaryl of 5-10 ring members, heteroaryl(C₁-C₄ alkyl) of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl(C₁-C₄ alkyl) of 5-8 ring members, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R_i;

R₂₁ and R₂₂ are each independently a radical of hydrogen, C₁-C₆ alkyl, aryl or heteroaryl of 5-10 ring members, wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of R_i;

each R_2 is independently a halo, C_1-C_2 alkyl, C_1-C_2 alkoxy, C_1-C_2 alkylthio, CF_3- , CF_3O- , hydroxy, carboxy, cyano, azido, amidino, guanidino, nitro, amino, C_1-C_2 alkylamino or di(C_1-C_2 alkyl)amino radical or two adjacent R_2 radicals on an aryl or heteroaryl radical represent a methylenedioxy, ethylenedioxy or propylenedioxy radical;

35 each W_2, W_3, W_4 and W_5 are independently C-R;

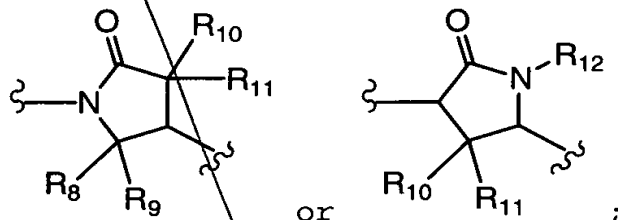
Sub
B4

1993 1994 1995 1996 1997 1998 1999 2000 2001 2002 2003 2004 2005 2006 2007 2008 2009 2010 2011 2012 2013 2014 2015 2016 2017 2018 2019 2020 2021 2022 2023 2024 2025 2026 2027 2028 2029 2030 2031 2032 2033 2034 2035 2036 2037 2038 2039 2040 2041 2042 2043 2044 2045 2046 2047 2048 2049 2050 2051 2052 2053 2054 2055 2056 2057 2058 2059 2060 2061 2062 2063 2064 2065 2066 2067 2068 2069 2070 2071 2072 2073 2074 2075 2076 2077 2078 2079 2080 2081 2082 2083 2084 2085 2086 2087 2088 2089 2090 2091 2092 2093 2094 2095 2096 2097 2098 2099 2100 2101 2102 2103 2104 2105 2106 2107 2108 2109 2110 2111 2112 2113 2114 2115 2116 2117 2118 2119 2120 2121 2122 2123 2124 2125 2126 2127 2128 2129 2130 2131 2132 2133 2134 2135 2136 2137 2138 2139 2140 2141 2142 2143 2144 2145 2146 2147 2148 2149 2150 2151 2152 2153 2154 2155 2156 2157 2158 2159 2160 2161 2162 2163 2164 2165 2166 2167 2168 2169 2170 2171 2172 2173 2174 2175 2176 2177 2178 2179 2180 2181 2182 2183 2184 2185 2186 2187 2188 2189 2190 2191 2192 2193 2194 2195 2196 2197 2198 2199 2200 2201 2202 2203 2204 2205 2206 2207 2208 2209 2210 2211 2212 2213 2214 2215 2216 2217 2218 2219 2220 2221 2222 2223 2224 2225 2226 2227 2228 2229 2230 2231 2232 2233 2234 2235 2236 2237 2238 2239 2240 2241 2242 2243 2244 2245 2246 2247 2248 2249 2250 2251 2252 2253 2254 2255 2256 2257 2258 2259 2260 2261 2262 2263 2264 2265 2266 2267 2268 2269 2270 2271 2272 2273 2274 2275 2276 2277 2278 2279 2280 2281 2282 2283 2284 2285 2286 2287 2288 2289 2290 2291 2292 2293 2294 2295 2296 2297 2298 2299 2300 2301 2302 2303 2304 2305 2306 2307 2308 2309 2310 2311 2312 2313 2314 2315 2316 2317 2318 2319 2320 2321 2322 2323 2324 2325 2326 2327 2328 2329 2330 2331 2332 2333 2334 2335 2336 2337 2338 2339 2340 2341 2342 2343 2344 2345 2346 2347 2348 2349 2350 2351 2352 2353 2354 2355 2356 2357 2358 2359 2360 2361 2362 2363 2364 2365 2366 2367 2368 2369 2370 2371 2372 2373 2374 2375 2376 2377 2378 2379 2380 2381 2382 2383 2384 2385 2386 2387 2388 2389 2390 2391 2392 2393 2394 2395 2396 2397 2398 2399 2400 2401 2402 2403 2404 2405 2406 2407 2408 2409 2410 2411 2412 2413 2414 2415 2416 2417 2418 2419 2420 2421 2422 2423 2424 2425 2426 2427 2428 2429 2430 2431 2432 2433 2434 2435 2436 2437 2438 2439 2440 2441 2442 2443 2444 2445 2446 2447 2448 2449 2450 2451 2452 2453 2454 2455 2456 2457 2458 2459 2460 2461 2462 2463 2464 2465 2466 2467 2468 2469 2470 2471 2472 2473 2474 2475 2476 2477 2478 2479 2480 2481 2482 2483 2484 2485 2486 2487 2488 2489 2490 2491 2492 2493 2494 2495 2496 2497 2498 2499 2500 2501 2502 2503 2504 2505 2506 2507 2508 2509 2510 2511 2512 2513 2514 2515 2516 2517 2518 2519 2520 2521 2522 2523 2524 2525 2526 2527 2528 2529 2530 2531 2532 2533 2534 2535 2536 2537 2538 2539 2540 2541 2542 2543 2544 2545 2546 2547 2548 2549 2550 2551 2552 2553 2554 2555 2556 2557 2558 2559 2560 2561 2562 2563 2564 2565 2566 2567 2568 2569 2570 2571 2572 2573 2574 2575 2576 2577 2578 2579 2580 2581 2582 2583 2584 2585 2586 2587 2588 2589 2590 2591 2592 2593 2594 2595 2596 2597 2598 2599 2600 2601 2602 2603 2604 2605 2606 2607 2608 2609 2610 2611 2612 2613 2614 2615 2616 2617 2618 2619 2620 2621 2622 2623 2624 2625 2626 2627 2628 2629 2630 2631 2632 2633 2634 2635 2636 2637 2638 2639 2640 2641 2642 2643 2644 2645 2646 2647 2648 2649 2650 2651 2652 2653 2654 2655 2656 2657 2658 2659 2660 2661 2662 2663 2664 2665 2666 2667 2668 2669 2670 2671 2672 2673 2674 2675 2676 2677 2678 2679 2680 2681 2682 2683 2684 2685 2686 2687 2688 2689 2690 2691 2692 2693 2694 2695 2696 2697 2698 2699 2700 2701 2702 2703 2704 2705 2706 2707 2708 2709 2710 2711 2712 2713 2714 2715 2716 2717 2718 2719 2720 2721 2722 2723 2724 2725 2726 2727 2728 2729 2730 2731 2732 2733 2734 2735 2736 2737 2738 2739 2740 2741 2742 2743 2744 2745 2746 2747 2748 2749 2750 2751 2752 2753 2754 2755 2756 2757 2758 2759 2760 2761 2762 2763 2764 2765 2766 2767 2768 2769 2770 2771 2772 2773 2774 2775 2776 2777 2778 2779 2780 2781 2782 2783 2784 2785 2786 2787 2788 2789 2790 2791 2792 2793 2794 2795 2796 2797 2798 2799 2800 2801 2802 2803 2804 2805 2806 2807 2808 2809 2810 2

each R_4 is independently a hydrogen, halo, C_1-C_4 alkyl, C_1-C_4 alkoxy, C_1-C_4 alkylthio, halo(C_1-C_2 alkyl) of 1-5 halo radicals, halo(C_1-C_2 alkoxy) of 1-5 halo radicals, hydroxy or cyano radical;

5

A represents a radical of formula



(a) R_{15} is a hydrogen or C_1-C_2 alkyl radical; and R_{17} is -
 10 $NH-C(O)-R_{19}$, $-NH-C(O)-NH-R_{19}$, $-NH-C(O)-O-R_{19}$, $-NH-S(O)_2-R_{19}$,
 or $-NH-S(O)_2-NH-R_{19}$ radical; or (b) R_{17} is a hydrogen or
 C_1-C_2 alkyl radical; and R_{15} is (1) an aryl, heteroaryl
 of 5-10 ring members, C_3-C_8 cycloalkyl or heterocyclyl
 of 5-8 ring members radical, or (2) an C_1-C_2 alkyl
 15 radical substituted by a radical of aryl, heteroaryl of
 5-10 ring members, C_3-C_8 cycloalkyl or heterocyclyl of
 5-8 ring members radical; wherein the cycloalkyl, aryl,
 heteroaryl and heterocyclyl radicals are optionally
 substituted by 1-3 radicals of R_2 ;

20

R_{19} is a C_1-C_4 alkyl, aryl, aryl(C_1-C_4 alkyl), heteroaryl
 of 5-10 ring members or heteroaryl(C_1-C_4 alkyl) of 5-10
 ring members, wherein the aryl and heteroaryl radicals
 are optionally substituted by 1-3 radicals of R_2 ;

25

R_{16} and R_{18} are each independently a hydrogen or C_1-C_4
 alkyl radical;

E is a radical of carboxy, amido, tetrazolyl or $-C(O)-$
 30 $O-R_{20}$; and

Sub B4
 5 R_{20} is a C_1-C_2 alkyl, aryl or heteroaryl of 5-10 ring members or a C_1-C_2 alkyl radical substituted by 1-3 radicals of halo, hydroxy, carboxy, aryl or heteroaryl of 5-10 ring members, wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of R_2 .

10 7. The compound of Claim 6 or a pharmaceutically acceptable salt thereof, wherein

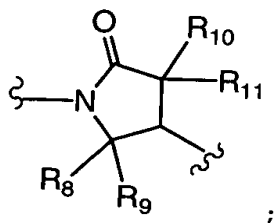
Alk is independently a C_1-C_2 alkyl radical;

15 G represents a bond or NH;

R_{21} and R_{22} are each independently a radical of hydrogen, C_1-C_6 alkyl or aryl, wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of R_2 ;

20 each R_4 is independently a hydrogen, halo, C_1-C_2 alkyl, C_1-C_2 alkoxy, C_1-C_2 alkylthio, CF_3- , CF_3O- , hydroxy or cyano radical;

25 A represents a radical of formula



30 (a) R_{15} is a hydrogen or C_1-C_2 alkyl radical; and R_{17} is $-NH-C(O)-O-R_{19}$ or $-NH-S(O)_2-R_{19}$ radical; or (b) R_{17} is a hydrogen or C_1-C_2 alkyl radical; and R_{15} is (1) an aryl or heteroaryl of 5-10 ring members, or (2) an C_1-C_2

alkyl radical substituted by a radical of aryl or heteroaryl of 5-10 ring members; wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of R_2 ;

5

R_{19} is a C_1 - C_4 alkyl, aryl or aryl(C_1 - C_4 alkyl), wherein the aryl radicals are optionally substituted by 1-3 radicals of R_2 ;

- 10 R_{16} and R_{18} are each independently a hydrogen or C_1 - C_2 alkyl radical;

E is a radical of carboxy or $-C(O)-O-R_{20}$; and

- 15 R_{20} is a C_1 - C_2 alkyl, aryl or aryl(C_1 - C_2 alkyl) radical, wherein the aryl radicals are optionally substituted by 1-3 radicals of R_2 .

- 20 8. A pharmaceutical composition comprising a compound according to any of Claims 1 to 7 and a pharmaceutically acceptable carrier.

- 25 9. A method for the treatment of a disease or disorder modulated by an integrin receptor comprising administering an effective amount of a compound according to any of Claims 1 to 7.

- 30 10. The method of Claim 9 wherein the integrin receptor is vitronectin receptor $\alpha_v\beta_3$, $\alpha_v\beta_5$ or $\alpha_v\beta_6$.

- 35 11. A method for the treatment of a disease or disorder modulated by an integrin receptor comprising administering an effective amount of a composition of Claim 8.

12. The method of Claim 11 wherein the an integrin receptor is vitronectin receptor $\alpha_v\beta_3$, $\alpha_v\beta_5$ or $\alpha_v\beta_6$.

5 13. A method of antagonizing an integrin receptor comprising administering an effective amount of a compound according to any of Claims 1 to 7.

10 14. The method of Claim 13 wherein the an integrin receptor is vitronectin receptor $\alpha_v\beta_3$, $\alpha_v\beta_5$ or $\alpha_v\beta_6$.

15 15. A method of antagonizing an integrin receptor comprising administering an effective amount of a composition of Claim 8.

16. The method of Claim 15 wherein the an integrin receptor is vitronectin receptor $\alpha_v\beta_3$, $\alpha_v\beta_5$ or $\alpha_v\beta_6$.

20 17. A method for the treatment of atherosclerosis, restenosis, inflammation, wound healing, cancer, metastasis, bone resorption related diseases, diabetic retinopathy, macular degeneration, angiogenesis or viral infections comprising administering an effective amount of a compound
25 according to any of Claims 1 to 7.

30 18. A method for the treatment of atherosclerosis, restenosis, inflammation, wound healing, cancer, metastasis, bone resorption related diseases, diabetic retinopathy, macular degeneration, angiogenesis or viral infections comprising administering an effective amount of a composition of Claim 8.

35